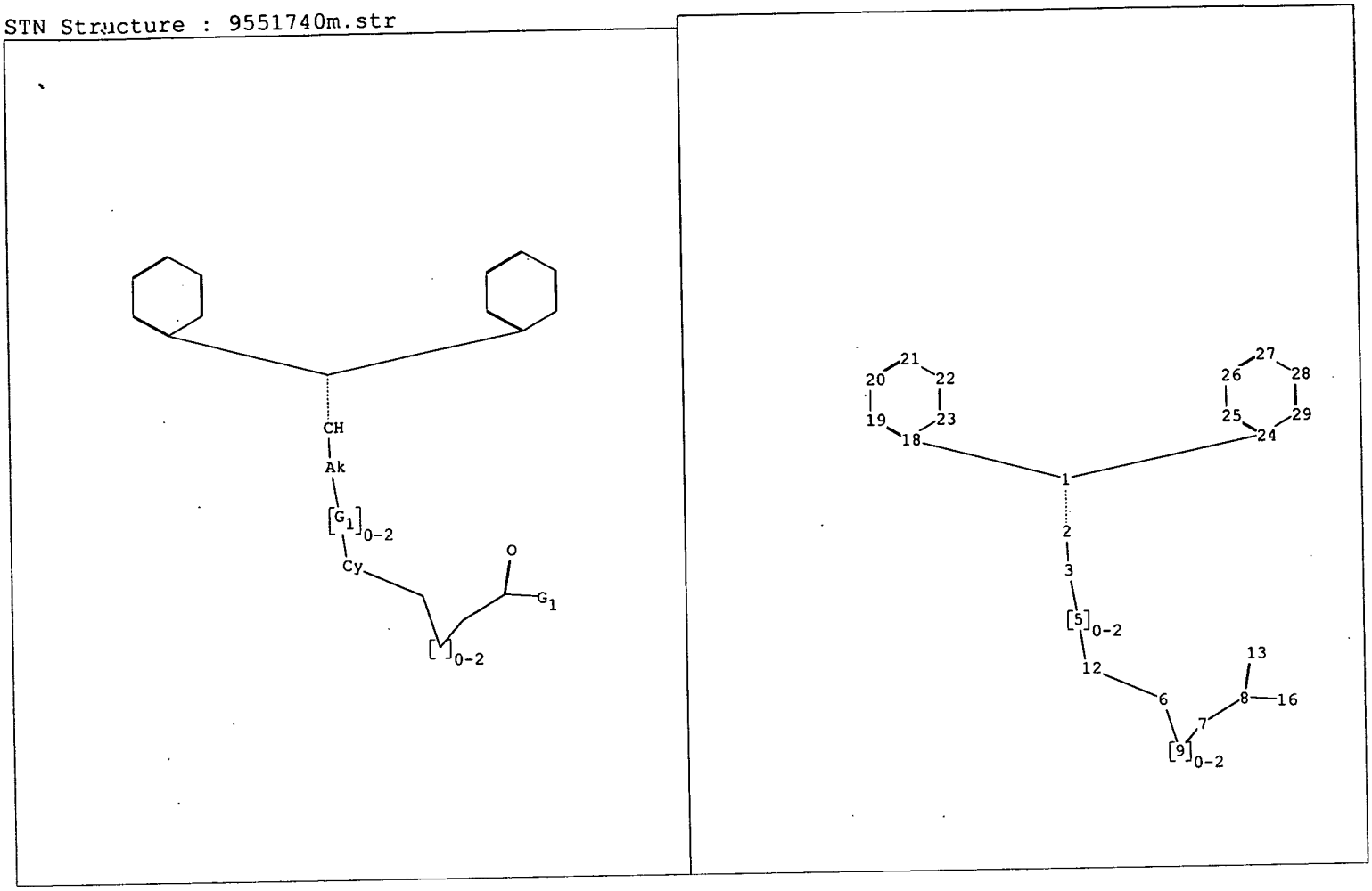
```
=> d his

     (FILE 'HOME' ENTERED AT 13:28:41 ON 14 SEP 2000)

     FILE 'REGISTRY' ENTERED AT 13:29:01 ON 14 SEP 2000
L1                STRUCTURE UPLOADED
L2              0 S L1
L3                STRUCTURE UPLOADED
L4              0 S L1
L5              0 S L4 FULL
L6                STRUCTURE UPLOADED
L7              0 S L6
L8                STRUCTURE UPLOADED
L9              0 S L8
L10               STRUCTURE UPLOADED
L11             4 S L10
L12               STRUCTURE UPLOADED
L13             0 S L12
L14               STRUCTURE UPLOADED
L15             0 S L14
L16            13 S L15 FULL

     FILE 'CA' ENTERED AT 13:40:18 ON 14 SEP 2000
L17             5 S L16
L18             0 S L17 AND MURRAY, A?/AU
L19             0 S L17 AND SAUERBERG, P?/AU
L20             0 S L17 AND JEPPESEN, L?/AU
L21             0 S L17 AND PETTERSON, I?/AU
L22             0 S L17 AND BURY, P?/AU

     FILE 'CAOLD' ENTERED AT 13:42:21 ON 14 SEP 2000
L23             0 S L16

     FILE 'REGISTRY' ENTERED AT 14:22:58 ON 14 SEP 2000
L24               STRUCTURE UPLOADED
L25             0 S L24
L26               STRUCTURE UPLOADED
L27             0 S L26
L28               STRUCTURE UPLOADED
L29             1 S L28
L30           443 S L29 FULL
L31               STRUCTURE UPLOADED
L32             0 S L31
L33               STRUCTURE UPLOADED
L34             0 S L33
L35               STRUCTURE UPLOADED
L36             1 S L35
L37             1 S L36
L38            36 S L36 FULL
L39            29 S L38 NOT L16

     FILE 'CA' ENTERED AT 14:33:54 ON 14 SEP 2000
L40             9 S L39
```

```
L41             0 S L40 AND MURRAY, A?/AU
L42             0 S L40 AND SAUERBERG,P?/AU
L43             0 S L40 AND JEPPESEN,L?/AU
L44             0 S L40 AND PETTERSON, I?/AU
L45             0 S L40 AND BURY, P?/AU

     FILE 'CAOLD' ENTERED AT 14:35:58 ON 14 SEP 2000
L46             3 S L39

     FILE 'REGISTRY' ENTERED AT 14:36:20 ON 14 SEP 2000
L47             1 S    5294-64-4/RN
L48             1 S    5522-10-1/RN
L49             1 S   5590-63-6/RN
L50             1 S    2390-20-7/RN
L51             1 S   2459-71-4/RN
```

STN Structure : 9551740m.str



chain nodes :
1 2 3 5 6 7 8 9 12 13 16
ring nodes :
18 19 20 21 22 23 24 25 26 27 28 29
chain bonds :
1-2 1-18 1-24 2-3 3-5 5-12 6-9 6-12 7-9 7-8 8-13 8-16
ring bonds :
18-19 18-23 19-20 20-21 21-22 22-23 24-25 24-29 25-26 26-27 27-28 28-29
exact/norm bonds :
1-2 2-3 3-5 5-12 6-12 8-13 8-16
exact bonds :
1-18 1-24 6-9 7-9 7-8
normalized bonds :
18-19 18-23 19-20 20-21 21-22 22-23 24-25 24-29 25-26 26-27 27-28 28-29
isolated ring systems :
containing 18 : 24 :

G1:O,S,N

Match level :
1:CLASS 2:CLASS 3:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS 12:Atom 13:CLASS
16:CLASS 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom
27:Atom 28:Atom 29:Atom

Connecting via Winsock to STN

Trying 3106016892...Open

Welcome to STN International! Enter x:x
LOGINID:ssspta1612BXR
PASSWORD:
* * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'CAOLD' AT 14:22:51 ON 14 SEP 2000
FILE 'CAOLD' ENTERED AT 14:22:51 ON 14 SEP 2000
COPYRIGHT (C) 2000 AMERICAN CHEMICAL SOCIETY (ACS)

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.30	284.90

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-2.65

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.30	284.90

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-2.65

FILE 'REGISTRY' ENTERED AT 14:22:58 ON 14 SEP 2000
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2000 American Chemical Society (ACS)

STRUCTURE FILE UPDATES: 13 SEP 2000 HIGHEST RN 289029-92-1
DICTIONARY FILE UPDATES: 13 SEP 2000 HIGHEST RN 289029-92-1

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 11, 2000

Please note that search-term pricing does apply when conducting SmartSELECT searches.

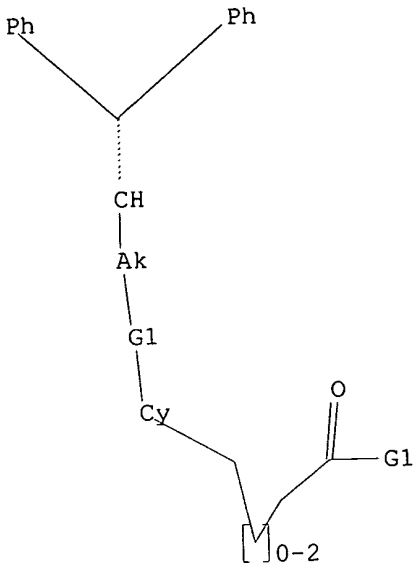
Structure search limits have been increased. See HELP SLIMIT for details.

=>
Uploading 9551740h.str

L24 STRUCTURE UPLOADED

=> d 124

L24 HAS NO ANSWERS
L24 STR



G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s l24

SAMPLE SEARCH INITIATED 14:23:32 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 5090 TO ITERATE

0 ANSWERS

19.6% PROCESSED 1000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 97528 TO 106072
PROJECTED ANSWERS: 0 TO 0

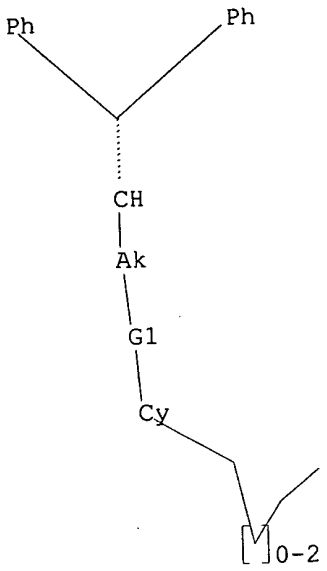
L25 0 SEA SSS SAM L24

=>
Uploading 9551740i.str

L26 STRUCTURE UPLOADED

=> d l26

L26 HAS NO ANSWERS
L26 STR



G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s l26

SAMPLE SEARCH INITIATED 14:24:37 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 14260 TO ITERATE

0 ANSWERS

7.0% PROCESSED 1000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 278074 TO 292326
PROJECTED ANSWERS: 0 TO 0

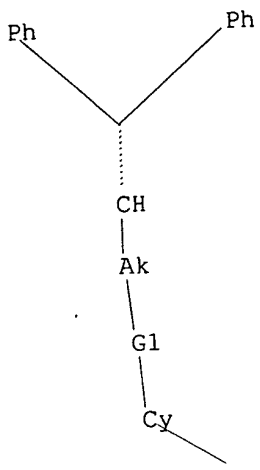
L27 0 SEA SSS SAM L26

=>
Uploading 9551740j.str

L28 STRUCTURE UPLOADED

=> d l28

L28 HAS NO ANSWERS
L28 STR



G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s l28

SAMPLE SEARCH INITIATED 14:26:06 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 15721 TO ITERATE

1 ANSWERS

6.4% PROCESSED 1000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 306942 TO 321898
PROJECTED ANSWERS: 77 TO 551

L29 1 SEA SSS SAM L28

=> s l29 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 126.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 14:26:33 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 317037 TO ITERATE

443 ANSWERS

100.0% PROCESSED 317037 ITERATIONS
SEARCH TIME: 00.00.16

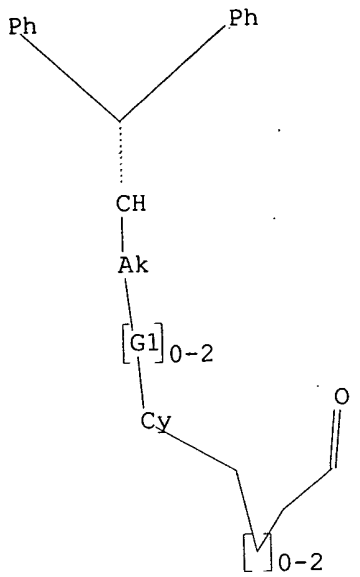
L30 443 SEA SSS FUL L28

=>
Uploading 9551740k.str

L31 STRUCTURE UPLOADED

=> d 131

L31 HAS NO ANSWERS
L31 STR



G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s l31

SAMPLE SEARCH INITIATED 14:28:48 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 6819 TO ITERATE

14.7% PROCESSED 1000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 131439 TO 141321
PROJECTED ANSWERS: 0 TO 0

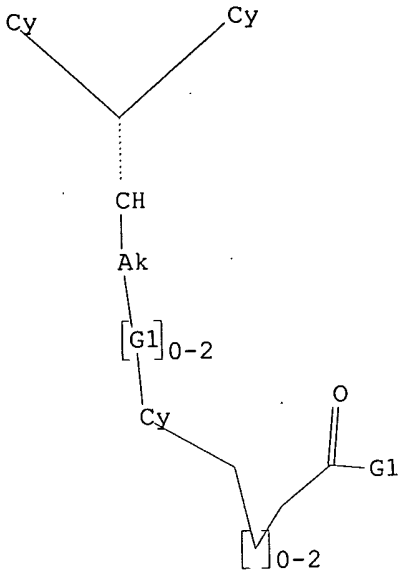
L32 0 SEA SSS SAM L31

=>
Uploading 95517401.str

L33 STRUCTURE UPLOADED

=> d l33

L33 HAS NO ANSWERS
L33 STR



G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s l33

SAMPLE SEARCH INITIATED 14:30:24 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 202716 TO ITERATE

0.5% PROCESSED 1000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: EXCEEDS 1000000
PROJECTED ANSWERS: EXCEEDS 0

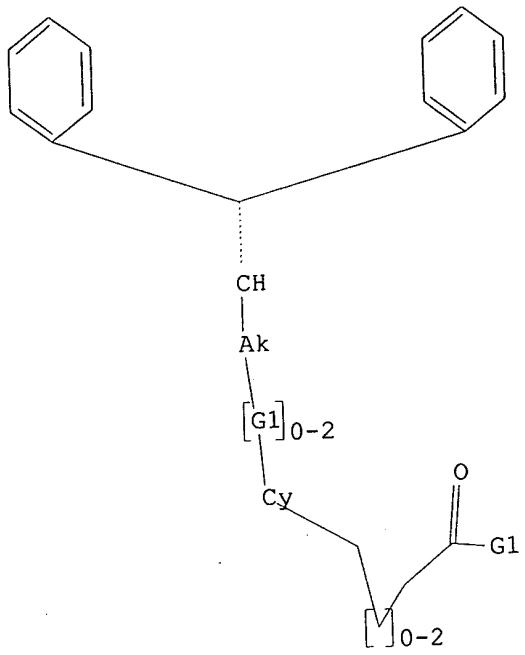
L34 0 SEA SSS SAM L33

=>
Uploading 9551740m.str

L35 STRUCTURE UPLOADED

=> d l35

L35 HAS NO ANSWERS
L35 STR



G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s l35

SAMPLE SEARCH INITIATED 14:32:15 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 5132 TO ITERATE

1 ANSWERS

19.5% PROCESSED 1000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 98351 TO 106929
PROJECTED ANSWERS: 1 TO 237

L36 1 SEA SSS SAM L35

=> s l36

SAMPLE SEARCH INITIATED 14:32:21 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 5132 TO ITERATE

1 ANSWERS

19.5% PROCESSED 1000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 98351 TO 106929
PROJECTED ANSWERS: 1 TO 237

L37 1 SEA SSS SAM L35

=> s 136 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 126.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 14:32:29 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 102395 TO ITERATE

36 ANSWERS

100.0% PROCESSED 102395 ITERATIONS
SEARCH TIME: 00.00.08

L38 36 SEA SSS FUL L35

=> d his

(FILE 'HOME' ENTERED AT 13:28:41 ON 14 SEP 2000)

FILE 'REGISTRY' ENTERED AT 13:29:01 ON 14 SEP 2000
L1 STRUCTURE UPLOADED
L2 0 S L1
L3 STRUCTURE UPLOADED
L4 0 S L1
L5 0 S L4 FULL
L6 STRUCTURE UPLOADED
L7 0 S L6
L8 STRUCTURE UPLOADED
L9 0 S L8
L10 STRUCTURE UPLOADED
L11 4 S L10
L12 STRUCTURE UPLOADED
L13 0 S L12
L14 STRUCTURE UPLOADED
L15 0 S L14
L16 13 S L15 FULL

FILE 'CA' ENTERED AT 13:40:18 ON 14 SEP 2000
L17 5 S L16
L18 0 S L17 AND MURRAY, A?/AU
L19 0 S L17 AND SAUERBERG, P?/AU
L20 0 S L17 AND JEPPESEN, L?/AU
L21 0 S L17 AND PETTERSON, I?/AU
L22 0 S L17 AND BURY, P?/AU

FILE 'CAOLD' ENTERED AT 13:42:21 ON 14 SEP 2000

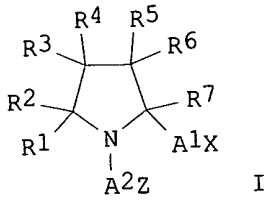
```
L23             0 S L16

     FILE 'REGISTRY' ENTERED AT 14:22:58 ON 14 SEP 2000
L24               STRUCTURE UPLOADED
L25             0 S L24
L26               STRUCTURE UPLOADED
L27             0 S L26
L28               STRUCTURE UPLOADED
L29             1 S L28
L30           443 S L29 FULL
L31               STRUCTURE UPLOADED
L32             0 S L31
L33               STRUCTURE UPLOADED
L34             0 S L33
L35               STRUCTURE UPLOADED
L36             1 S L35
L37             1 S L36
L38            36 S L36 FULL

=> s 138 not l16

L39           29 L38 NOT L16

=> file ca

                                                    SINCE FILE      TOTAL
COST IN U.S. DOLLARS                                   ENTRY     SESSION
                                                      257.40      542.30

FULL ESTIMATED COST

                                                    SINCE FILE      TOTAL
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)             ENTRY     SESSION
                                                        0.00       -2.65

CA SUBSCRIBER PRICE

FILE 'CA' ENTERED AT 14:33:54 ON 14 SEP 2000
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2000 AMERICAN CHEMICAL SOCIETY (ACS)


Copyright of the articles to which records in this database refer is
held by the publishers listed in the PUBLISHER (PB) field (available
for records published or updated in Chemical Abstracts after December
26, 1996), unless otherwise indicated in the original publications.

FILE COVERS 1967 - 8 Sep 2000 VOL 133 ISS 12
FILE LAST UPDATED: 8 Sep 2000  (20000908/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

  This file supports REGlstRY for direct browsing and searching of
  all substance data from the REGISTRY file.  Enter HELP FIRST for
  more information.

Now you can extend your author, patent assignee, patent information,
and title searches back to 1907.  The records from 1907-1966 now have
```

this searchable data in CAOLD. You now have electronic access to all of CA: 1907 to 1966 in CAOLD and 1967 to the present in CA on STN.

```
=> s l39

L40           9 L39

=> s l40 and murray, a?/au

            833 MURRAY, A?/AU
L41           0 L40 AND MURRAY, A?/AU

=> s l40 and sauerberg,p?/au

             70 SAUERBERG,P?/AU
L42           0 L40 AND SAUERBERG,P?/AU

=> s l40 and jeppesen,l?/au

             35 JEPPESEN,L?/AU
L43           0 L40 AND JEPPESEN,L?/AU

=> s l40 and petterson, i?/au

              5 PETTERSON, I?/AU
L44           0 L40 AND PETTERSON, I?/AU

=> s l40 and bury, p?/au

             62 BURY, P?/AU
L45           0 L40 AND BURY, P?/AU

=> d l40, ibib abs hitstr, 1-9


L40  ANSWER 1 OF 9  CA  COPYRIGHT 2000 ACS
ACCESSION NUMBER:         132:194656  CA
TITLE:                    Preparation of proline derivatives and related
                          compounds as GABA uptake inhibitors
INVENTOR(S):              Wanner, Klaus; Fuelep, Guenther; Hoefner, Georg
PATENT ASSIGNEE(S):       Germany
SOURCE:                   Ger. Offen., 36 pp.
                          CODEN: GWXXBX
DOCUMENT TYPE:            Patent
LANGUAGE:                 German
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.   DATE
     ---------------   ----  --------      ---------------   --------
     DE 19840611        A1   20000309      DE 1998-19840611  19980905
     WO 2000014064      A2   20000316      WO 1999-EP6486    19990903
     WO 2000014064      A3   20000720
         W:  AU, BR, CA, CN, CZ, HU, IL, JP, KR, MX, NO, NZ, PL, RU, TR, US,
ZA
         RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
```

PT, SE
AU 9959726 A1 20000327 AU 1999-59726 19990903
DE 1998-19840611 19980905
PRIORITY APPLN. INFO.: WO 1999-EP6486 19990903

OTHER SOURCE(S): MARPAT 132:194656
GI



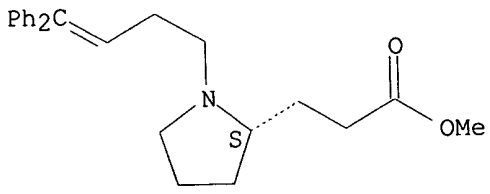
I

AB Title compds. [I; R1-R7 = H, OH, halo, cyano, alkyl, alkenyl, alkynyl, (substituted) aryl, heteroaryl, etc.; R1R2 and/or R3R4 and/or R5R6 = (substituted) alkylidene, O; pairs of adjoining R1-R7 = double bond; X = CO2M, group physiol. convertible to CO2M; M = H, pharmaceutically acceptable cation; Z = Y3CO, Y2C:CR15, Y2C:NO; R15 = H, alkyl, halo; Y = (substituted) aryl, heteroaryl; A1 = (CR8R9)n, (substituted) alkylene, or a combination thereof; n .gtoreq.2; R8, R9 = H, alkyl, halo, OH, etc.; A2 = (CR10R11)m; R10, R11 = H, alkyl, halo; m .gtoreq.2], were prepd. as GABA uptake inhibitors (no data). Thus, L-proline Me ester hydrochloride (prepn. given), KI, K2CO3, and 4,4-diphenylbut-3-en-1-yl bromide were stirred 46 h in acetone to give 52.4% Me (S)-N-(4,4-diphenylbut-3-en-1-yl)pyrrolidine-2-carboxylate.

IT **259868-48-9P 259868-49-0P 259868-64-9P 259868-65-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of proline derivs. and related compds. as GABA uptake inhibitors)

RN 259868-48-9 CA
CN 2-Pyrrolidinepropanoic acid, 1-(4,4-diphenyl-3-butenyl)-, methyl ester, (2S)- (9CI) (CA INDEX NAME)

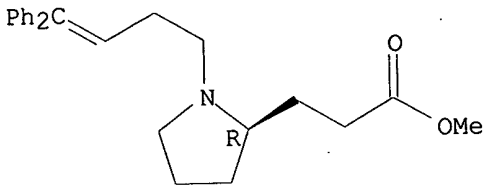
Absolute stereochemistry. Rotation (-).



RN 259868-49-0 CA

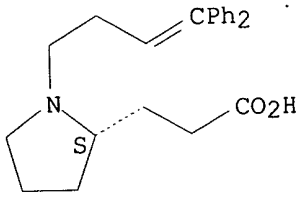
CN 2-Pyrrolidinepropanoic acid, 1-(4,4-diphenyl-3-butenyl)-, methyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



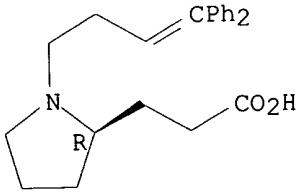
RN 259868-64-9 CA
CN 2-Pyrrolidinepropanoic acid, 1-(4,4-diphenyl-3-butenyl)-, (2S)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 259868-65-0 CA
CN 2-Pyrrolidinepropanoic acid, 1-(4,4-diphenyl-3-butenyl)-, (2R)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 8
REFERENCE(S):
(1) Andersen; J Med Chem 1993, V36, PS1716
(2) Anon; EP 0231996 A2 CA
(4) Anon; EP 0374801 A2 CA
(5) Anon; US 4514414 CA
(7) Anon; WO 9745115 A1 CA
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 2 OF 9 CA COPYRIGHT 2000 ACS
ACCESSION NUMBER: 131:219171 CA

TITLE: Glycine transport inhibitors
INVENTOR(S): Luyten, Walter Herman Maria Louis; Janssens, Frans Eduard; Kennis, Ludo Edmond Josephine
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9944596	A2	19990910	WO 1999-EP1309	19990226
WO 2000044596	A3	20000217		

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

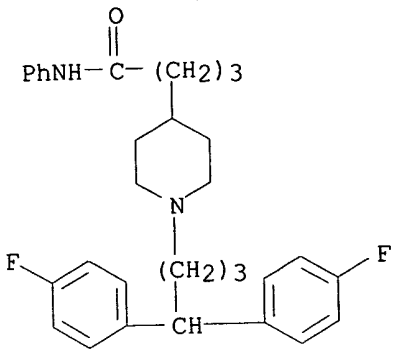
AU 9934089	A1	19990920	AU 1999-34089	19990226
PRIORITY APPLN. INFO.:			EP 1998-200701	19980306
			WO 1999-EP1309	19990226

OTHER SOURCE(S): MARPAT 131:219171

AB The present invention is concerned with the use of glycine transport inhibiting [4,4-bis(4-fluorophenyl)butyl]-1-(piperazinyl and piperidinyl) derivs. for the prepn. of medicaments for treating disorders of the central and peripheral nervous system, in particular psychoses, pain, epilepsy, neurodegenerative diseases (Alzheimer's disease), stroke, head trauma, multiple sclerosis and the like. E.g., 3-[1-[4,4-bis(4-fluorophenyl)butyl]-4-piperidinyl]-3,4-dihydro-2(1H)-quinazolinone was prepd. as were a no. of other derivs. The compds. were assayed for transport via GlyT1 transporters. Film-coated tablets were also prepd.

IT **242792-05-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(glycine transport inhibitors)

RN 242792-05-8 CA
CN 4-Piperidinebutanamide, 1-[4,4-bis(4-fluorophenyl)butyl]-N-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)

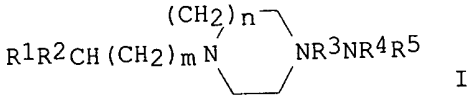


● 2 HCl

L40 ANSWER 3 OF 9 CA COPYRIGHT 2000 ACS
ACCESSION NUMBER: 129:225745 CA
TITLE: Diphenyl(alkyl)piperazines or homopiperazines and dopamine reuptake inhibitors containing them
INVENTOR(S): Namiki, Takayuki; Kimura, Makoto; Nishio, Azuma; Kawakatsu, Tsuneyuki; Kishii, Kanekazu; Oita, Kyoko; Inazu, Masato; Kubota, Nobuo
PATENT ASSIGNEE(S): Pola Chemical Industries, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10218866	A2	19980818	JP 1997-40056	19970207

OTHER SOURCE(S): MARPAT 129:225745
GI



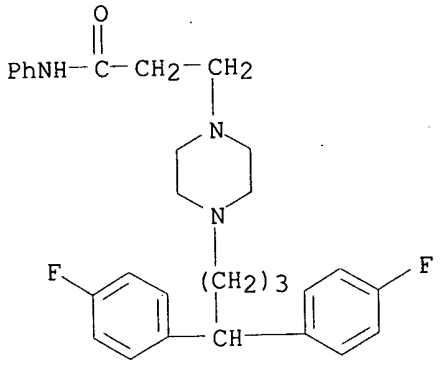
I

AB The title compds. I [R1, R2 = H, (halo)phenyl; R3 = C1-4 alkylene which may be substituted with OH, C1-4 alkoxy, C1-4 acyloxy; R4, R5 = H, C1-4 alkyl, Ph which may be substituted with OH, C1-4 alkyl, C1-4 alkoxy, halo; m = 0-4; n = 1, 2; if n = 1, then R3 = nonsubstituted alkylene] and/or their physiol. acceptable salts are prepd. Dopamine reuptake inhibitors, useful as prophylactic and/or therapeutic agents for parkinsonism contain

I and/or their salts as active ingredients. (S)-(+)-1-[4,4-bis(4-fluorophenyl)butyl]-4-[2-hydroxy-3-(phenylamino)propyl]homopiperazine (prepn. given) inhibited dopamine reuptake.

IT **212828-76-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of diphenyl(alkyl)piperazines or homopiperazines as dopamine reuptake inhibitors for treatment of parkinsonism)

RN 212828-76-7 CA
CN 1-Piperazinepropanamide, 4-[4,4-bis(4-fluorophenyl)butyl]-N-phenyl- (9CI) (CA INDEX NAME)



L40 ANSWER 4 OF 9 CA COPYRIGHT 2000 ACS
ACCESSION NUMBER: 128:75424 CA
TITLE: Preparation of cyclic diamines and their use as chemokine MCP-1 and/or MIP-1.alpha. antagonists
INVENTOR(S): Yamagami, Shinsuke; Shiota, Tatsuki; Kataoka, Kenichiro; Tanaka, Hiroko; Endo, Noriaki
PATENT ASSIGNEE(S): Teijin Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 39 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09309877	A2	19971202	JP 1996-147846	19960520
WO 9744329	A1	19971127	WO 1997-US8577	19970520
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9731354	A1	19971209	AU 1997-31354	19970520
EP 914319	A1	19990512	EP 1997-926639	19970520
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.:
JP 1996-147846 19960520
US 1997-858238 19970519
WO 1997-US8577 19970520

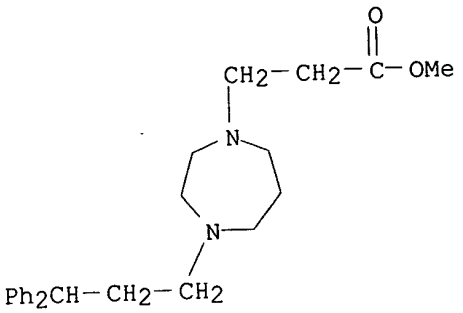
OTHER SOURCE(S): MARPAT 128:75424
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The diamines I [R1-2 = H, halo, C1-3 alkyl, C1-3 alkoxy; m = 1-3; n = 1-2; R3 = A1R4 [R4 = (un)substituted phenyl; A1 = (CH2)l, (CH2)pG1(CH2)q; l = 0-3; p = 1-3; q = 0-1; G1 = CO2, CONH, NHCO, NHCONH, NHSO2], A2R5 [A2 = CO, SO2; R5 = (un)substituted Ph, monocyclic heteroarom. group contg. 1-2 , S, and/or N, CH2NR8R9 [R8 = H, C1-3 alkyl; R9 = (un)substituted Ph, phenylalkyl]], (CH2)rA3 [A3 = (un)substituted quinolyl, indolyl, benzimidazolyl, II, III; r = 1-3]] (IV) or their pharmacol. acceptable acid salts are prepd. by (a) treatment of I (R3 = H) with XR3 (R3 = same as in IV except A2R5; X = halo, alkylsulfonyloxy, arylsulfonyloxy), by (b) treatment of cyclic diamines V (R3 and n = same as in IV) with VI (R1-2 and m = same as in IV; X = halo, alkylsulfonyloxy, arylsulfonyloxy), or by (c) treatment of V with aldehydes VII (R1-2 and m = same as in IV) under a reductive condition. Also claimed are MCP-1 (monocyte chemotactic protein-1) and/or MIP-1.alpha. (macrophage inflammatory protein-1.alpha.) antagonists contg. IV or their salts. The antagonists are useful for treatment of diseases, in which infiltration of monocyte, lymphocyte, etc., into tissues are involved, e.g. rheumatoid arthritis, restenosis after angioplasty, multiple sclerosis, asthma, ulcerative colitis, etc. 1-(3,3-Diphenylpropyl)homopiperazine (prepn. given) was dissolved in MeCN and the soln. was treated with 4-BrCH2C6H4NO2 and Na2CO3 at 70.degree. for 14 h to give 1-(3,3-diphenylpropyl)-4-(4-nitrobenzyl)homopiperazine, which was further treated with HCl/Et2O to give its HCl salt. This compd. showed 88% inhibition of binding of [35S]methionine-labeled human MCP-1 to THP-1 cell at inhibition rate 88%. Tablets and injection solns. contg. IV were also formulated.

IT **200442-13-3**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of N-diphenylalkyl cyclic diamines as chemokine MCP-1 and/or MIP-1.alpha. antagonists)

RN 200442-13-3 CA
CN 1H-1,4-Diazepine-1-propanoic acid, 4-(3,3-diphenylpropyl)hexahydro-, methyl ester, hydrochloride (9CI) (CA INDEX NAME)

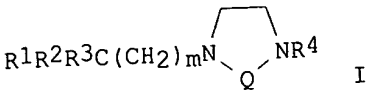


● x HCl

L40 ANSWER 5 OF 9 CA COPYRIGHT 2000 ACS
ACCESSION NUMBER: 128:48247 CA
TITLE: Preparation of diarylalkyl cyclic diamine derivatives as chemokine receptor antagonists.
INVENTOR(S): Shiota, Tatsuki; Yamagami, Shinsuke; Kataoka, Kenichiro; Endo, Noriaki; Tanaka, Hiroko; Barnum, Doug; Greene, Jonathan; Moree, Wilna; Ramirez-Weinhouse, Michelle; Tarby, Christine
PATENT ASSIGNEE(S): Teijin Limited, Japan; Shiota, Tatsuki; Yamagami, Shinsuke; Kataoka, Kenichiro; Endo, Noriaki; Tanaka, Hiroko; Barnum, Doug; Greene, Jonathan; Moree, Wilna; et al.
SOURCE: PCT Int. Appl., 148 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9744329	A1	19971127	WO 1997-US8577	19970520
W: AU, CA, JP, KR, US RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 09309877	A2	19971202	JP 1996-147846	19960520
AU 9731354	A1	19971209	AU 1997-31354	19970520
EP 914319	A1	19990512	EP 1997-926639	19970520
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRIORITY APPLN. INFO.:			JP 1996-147846	19960520
			US 1997-858238	19970519
			WO 1997-US8577	19970520

OTHER SOURCE(S): MARPAT 128:48247
GI

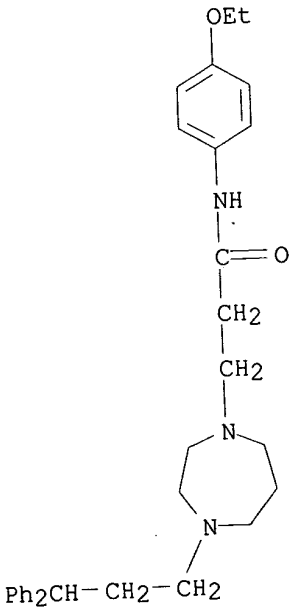


I

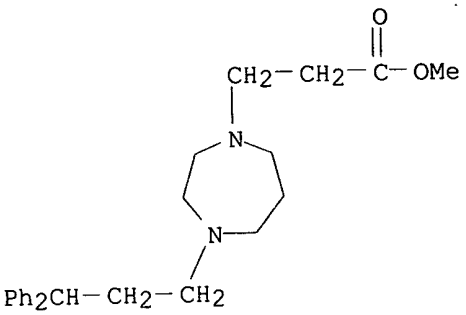
AB Title compds. [I; R1, R2 = (substituted) Ph, heteroaryl; R3 = H, OH, cyano, alkoxy, alkanoyloxy; R4 = A1R7, A2R11, etc.; ; R7 = (substituted) Ph; A2 = CO, SO2; R11 = (substituted) Ph, heteroaryl, aminomethyl, etc.; Q = (CH2)n; m = 0-3; n = 2,3], were prepd. Thus, a mixt. of homopiperazine and homopiperazine dihydrochloride in EtOH was treated with NaI and 3,3-diphenylpropyl mesylate at 70.degree.; the residue was treated with 4-nitrobenzyl bromide and K2CO3 in MeCN at 70.degree. to give 1-(3,3-diphenylpropyl)-4-(4-nitrobenzyl)homopiperazine. Numerous I inhibited binding of MCP-1 to THP-1 cells by >20% at 100 .mu.M.

IT **199935-65-4P 199937-21-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of diarylalkyl cyclic diamine derivs. as chemokine receptor antagonists)

RN 199935-65-4 CA
CN 1H-1,4-Diazepine-1-propanamide, 4-(3,3-diphenylpropyl)-N-(4-ethoxyphenyl)hexahydro- (9CI) (CA INDEX NAME)



RN 199937-21-8 CA
CN 1H-1,4-Diazepine-1-propanoic acid, 4-(3,3-diphenylpropyl)hexahydro-, methyl ester (9CI) (CA INDEX NAME)



L40 ANSWER 6 OF 9 CA COPYRIGHT 2000 ACS
ACCESSION NUMBER: 125:276584 CA
TITLE: Preparation of peptide inhibitors of serine protease.
INVENTOR(S): Green, Donovan St. Clair; Elgendy, Said Mohammed Anwr Ahmed; Patel, Geeta; Scully, Michael Finbarr; Goodwin, Christopher Andrew; Kakkar, Vijay Vir; Deadman, John Joseph
PATENT ASSIGNEE(S): Ellerman Pharmaceuticals Limited, UK
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9625427	A1	19960822	WO 1996-GB352	19960215
W: AU, CA, JP, NZ, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2212830	AA	19960822	CA 1996-2212830	19960215
AU 9646729	A1	19960904	AU 1996-46729	19960215
AU 707059	B2	19990701		
GB 2299583	A1	19961009	GB 1996-3217	19960215
GB 2299583	B2	19970813		
EP 809650	A1	19971203	EP 1996-902391	19960215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
JP 11500433	T2	19990112	JP 1996-524774	19960215
ZA 9601225	A	19960823	ZA 1996-1225	19960216
PRIORITY APPLN. INFO.:			GB 1995-2985	19950216
			WO 1996-GB352	19960215

OTHER SOURCE(S): MARPAT 125:276584

AB Peptide inhibitors of serine proteases, esp. thrombin, in which a natural amide linkage is replaced by another bond, were prepd. Exemplary thrombin inhibitors are: X-A3-A-.PSI.-A1-Z (X = H, substituent on the N-terminal amino group; A3 = hydrophobic amino acid residue such as Phe; A2 = Pro; A1 = Arg or Arg analog; Z = COOH, heteroacid group and derivs; .PSI. = non-amide linkage contg. .ltoreq.5 in-chain atoms such as CO2, CH2O, NHCO,

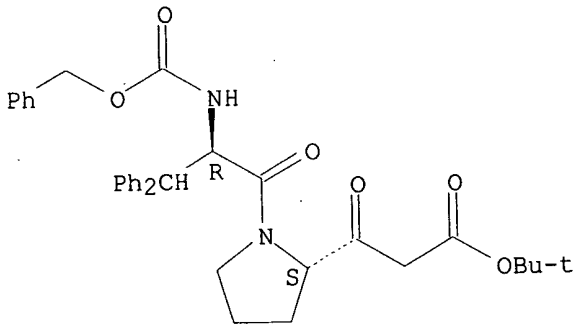
CH2CH2). Thus, Z-DL-Dpa-Pro-OH (Dpa = .beta.,.beta.-diphenylalanyl) was stirred overnight with pinanediol 1-bromo-2-phenylethylboronate and DBU in CH2Cl2 to give Z-DL-Dpa-Pro-OCH(CH2Ph)BPin (Pin = pinanediol residue). The latter inhibited thrombin with Ki = 0.039 .mu.M.

IT **182221-24-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptide inhibitors of serine protease)

RN 182221-24-5 CA

CN 2-Pyrrolidinepropanoic acid, .beta.-oxo-1-[1-oxo-3,3-diphenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]-, 1,1-dimethylethyl ester, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L40 ANSWER 7 OF 9 CA COPYRIGHT 2000 ACS

ACCESSION NUMBER: 123:198828 CA

TITLE: Preparation of N-(phenoxyethyl)-N'-(diphenylbutyl)piperazines as antiretrovirals.

INVENTOR(S): Wild, Hanno; Bender, Wolfgang; Haebich, Dieter; Raddatz, Siegfried; Roeben, Wolfgang; Seidel, Peter-Rudolf; Hansen, Jutta; Paessens, Arnold

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

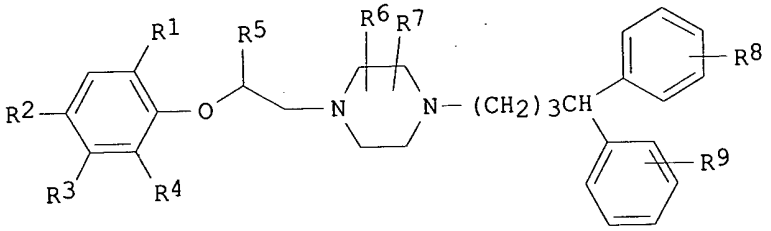
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 623605	A2	19941109	EP 1994-106319	19940422
EP 623605	A3	19950301		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 4314962	A1	19941110	DE 1993-4314962	19930506
AU 9460562	A1	19941110	AU 1994-60562	19940419
AU 678815	B2	19970612		
JP 06329644	A2	19941129	JP 1994-115939	19940502
CA 2122787	AA	19941107	CA 1994-2122787	19940503

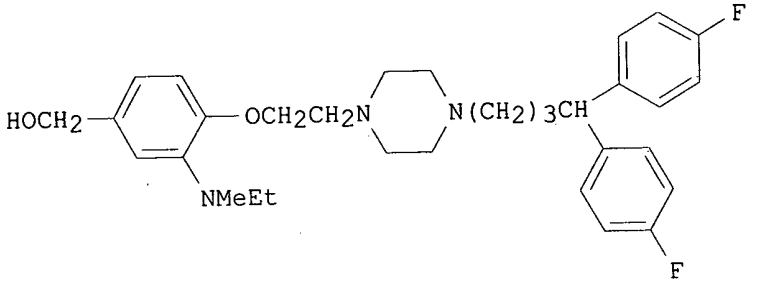
ZA 9403099	A	19950113	ZA 1994-3099	19940505
HU 70837	A2	19951128	HU 1994-1331	19940505
CN 1097745	A	19950125	CN 1994-104911	19940506
			DE 1993-4314962	19930506

PRIORITY APPLN. INFO.:
OTHER SOURCE(S): MARPAT 123:198828
GI



I

1037



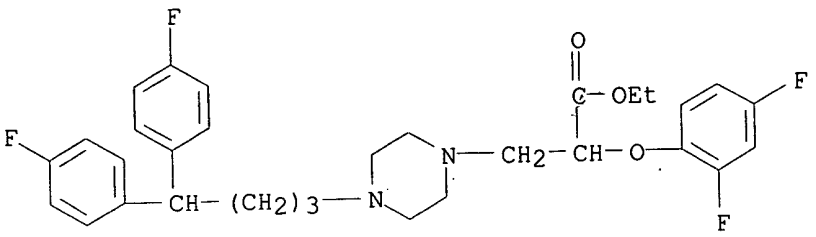
II

AB Title compds. [I; R1-R4 = H, NO2, halo, CO2H, OH, CHO, alkoxy, alkoxycarbonyl, CF3, Ph, hydroxyalkyl, NR10R11, COA; R2R3, R3R4 = atoms to complete 5-7 membered carbocyclic rings; A = NR12CHR13(CH2)aCOR14; R10, R11 = H, Ph, PhCH2, protecting group, alkyl; NR10R11 = 5-7 membered satd. heterocyclyl; R12 = H, alkyl; R13 = H, aryl, cycloalkyl, (substituted) alkyl; R14 = OH, alkoxy; R5 = H, alkyl, CO2R18, COA; R1R5 = atoms to form a 5-6 membered heterocyclyl; R18 = H, alkyl, protecting group; R6, R7 = H, CO2H, (substituted) alkyl, alkoxycarbonyl; R8, R9 = halo, cyano, NO2, N3, OH], were prepd. Thus, title compd. II inhibited HIV protease with IC50 = 0.17 .mu.M.

IT **168051-04-5P 168051-05-6P 168051-35-2P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-(phenoxyethyl)-N'-(diphenylbutyl)piperazines as antiretrovirals)

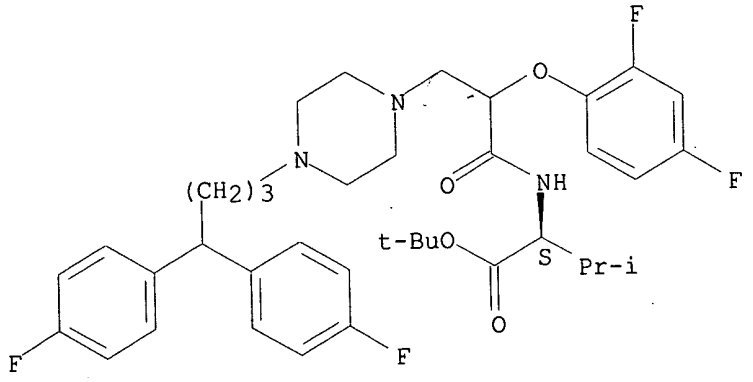
RN 168051-04-5 CA
CN 1-Piperazinepropanoic acid, 4-[4,4-bis(4-fluorophenyl)butyl]-.alpha.-(2,4-

difluorophenoxy)-, ethyl ester (9CI) (CA INDEX NAME)

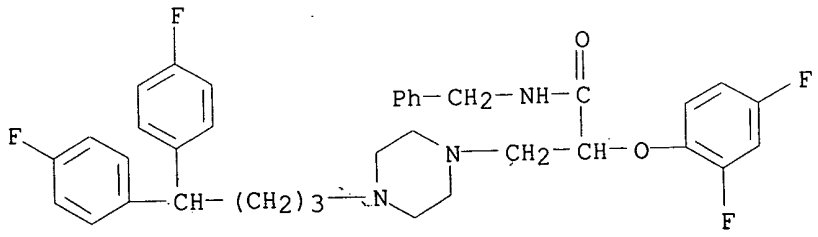


RN 168051-05-6 CA
CN L-Valine, N-[3-[4-[4,4-bis(4-fluorophenyl)butyl]-1-piperazinyl]-2-(2,4-difluorophenoxy)-1-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 168051-35-2 CA
CN 1-Piperazinepropanamide, 4-[4,4-bis(4-fluorophenyl)butyl]-.alpha.-(2,4-difluorophenoxy)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



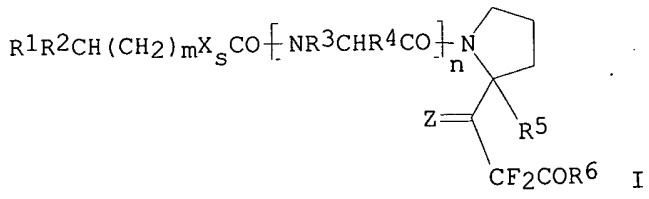
L40 ANSWER 8 OF 9 CA COPYRIGHT 2000 ACS
ACCESSION NUMBER: 110:154141 CA
TITLE: Preparation of 1,2-diacylpyrrolidines as prolyl

endopeptidase inhibitors
INVENTOR(S): Henning, Rainer; Hock, Franz; Urbach, Hansjoerg
PATENT ASSIGNEE(S): Hoechst A.-G., Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 286927	A2	19881019	EP 1988-105331	19880401
EP 286927	A3	19910508		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 3712364	A1	19881027	DE 1987-3712364	19870411
FI 8801612	A	19881012	FI 1988-1612	19880407
FI 87456	B	19920930		
FI 87456	C	19930111		
DK 8801919	A	19881012	DK 1988-1919	19880408
NO 8801545	A	19881012	NO 1988-1545	19880408
JP 63258852	A2	19881026	JP 1988-85541	19880408
HU 46659	A2	19881128	HU 1988-1781	19880408
HU 199785	B	19900328		
ZA 8802460	A	19881130	ZA 1988-2460	19880408
US 4912128	A	19900327	US 1988-179312	19880408
AU 8814465	A1	19881013	AU 1988-14465	19880411
AU 607987	B2	19910321		
IL 86028	A1	19930315	IL 1988-86028	19880411
			DE 1987-3712364	19870411

PRIORITY APPLN. INFO.:
OTHER SOURCE(S): MARPAT 110:154141
GI



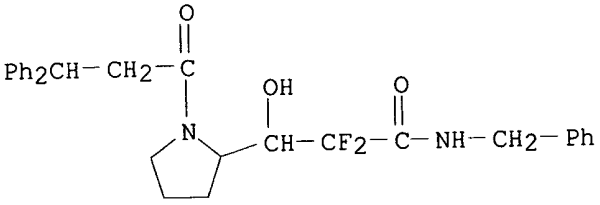
I

AB The title compds. [I; R1 = H, C1-6 alkyl, (substituted) C6-12 aryl; R2 = H, C1-6 alkyl, OH, C1-4 alkoxy, (substituted) C6-12 aryl, aryloxy, aroyl; R1R2 = (substituted) benzylidine; R3 = H, C1-6 alkyl, arylalkyl, C5-9 cycloalkyl; tetrahydronaphthyl, indanyl; R4 = H, (amino-substituted) C1-6 alkyl, alkenyl, C5-9 cycloalkyl, etc.; R3R4 = (CH2)p; R5 = H, C1-6 alkyl, (substituted) arylalkyl; R6 = OH, C1-8 alkyl, (substituted) arylalkyl, C1-8 alkoxy, (substituted) aryloxy, amino; X = O, imino; m = 0-5; n, s = 0, 1; Z = O; p = 3, 4, 5] (II) useful as prolyl endopeptidase inhibitors (no data) were prepd. Me bromodifluoroacetate and Zn were refluxed in THF. N-Benzyloxycarbonyl-S-prolyl-S-prolinal was added and the mixt. was refluxed 15 min to give Me
3-[N-(N-benzyloxycarbonyl-S-prolyl)pyrrolidine-

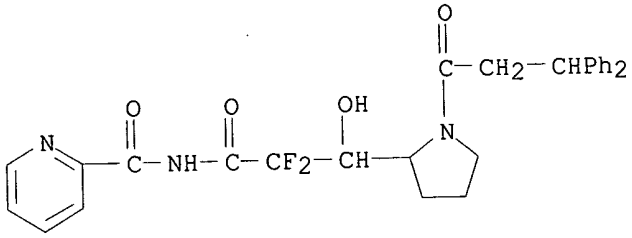
2S-yl]-3-hydroxy-2,2-difluoropropionate. The latter was oxidized to the corresp. oxo compd. with (COCl)2/Me2SO in CH2Cl2 at -78.degree..

IT **119814-30-1P 119814-31-2P 119814-51-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for prolyl endopeptidase inhibitor)

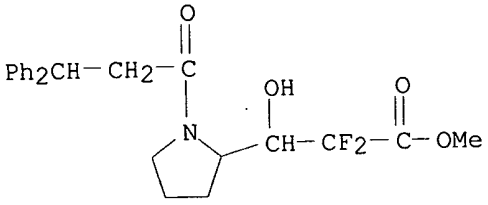
RN 119814-30-1 CA
CN 2-Pyrrolidinepropanamide, .alpha.,.alpha.-difluoro-.beta.-hydroxy-1-(1-oxo-3,3-diphenylpropyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 119814-31-2 CA
CN 2-Pyridinecarboxamide, N-[2,2-difluoro-3-hydroxy-1-oxo-3-[1-(1-oxo-3,3-diphenylpropyl)-2-pyrrolidinyl]propyl]- (9CI) (CA INDEX NAME)



RN 119814-51-6 CA
CN 2-Pyrrolidinepropanoic acid, .alpha.,.alpha.-difluoro-.beta.-hydroxy-1-(1-oxo-3,3-diphenylpropyl)-, methyl ester (9CI) (CA INDEX NAME)

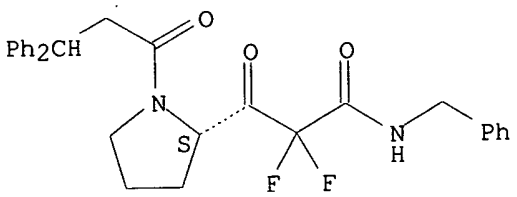


IT **119814-32-3P 119814-33-4P 119836-30-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as prolyl endopeptidase inhibitor)

RN 119814-32-3 CA
CN 2-Pyrrolidinepropanamide, .alpha.,.alpha.-difluoro-.beta.-oxo-1-(1-oxo-3,3-

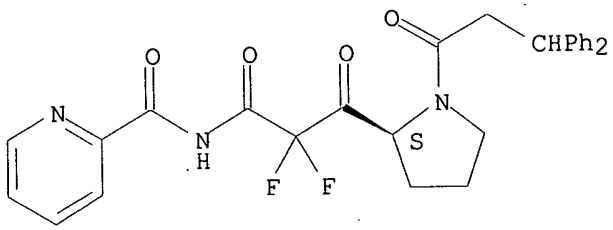
diphenylpropyl)-N-(phenylmethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



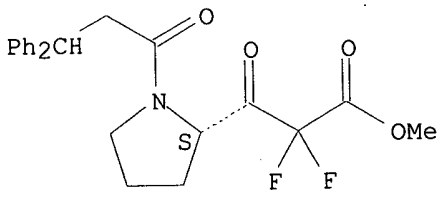
RN 119814-33-4 CA
CN 2-Pyridinecarboxamide, N-[2,2-difluoro-1,3-dioxo-3-[1-(1-oxo-3,3-diphenylpropyl)-2-pyrrolidinyl]propyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 119836-30-5 CA
CN 2-Pyrrolidinepropanoic acid, .alpha.,.alpha.-difluoro-.beta.-oxo-1-(1-oxo-3,3-diphenylpropyl)-, methyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



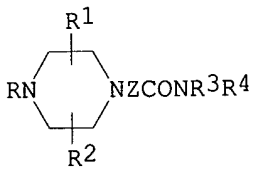
L40 ANSWER 9 OF 9 CA COPYRIGHT 2000 ACS

ACCESSION NUMBER:	99:22493 CA
TITLE:	N-Arylpiperazinealkanamides
INVENTOR(S):	Van Daele, Georges
PATENT ASSIGNEE(S):	Janssen Pharmaceutica N. V., Belg.
SOURCE:	Eur. Pat. Appl., 94 pp. CODEN: EPXXDW
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

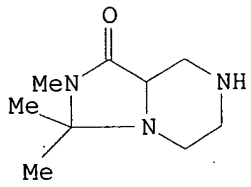
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 68544	A2	19830105	EP 1982-200693	19820608
EP 68544	A3	19830511		
EP 68544	B1	19870603		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4766125	A	19880823	US 1982-362814	19820329
CA 1210395	A1	19860826	CA 1982-403950	19820528
AT 27603	E	19870615	AT 1982-200693	19820608
JP 58004774	A2	19830111	JP 1982-104058	19820618
JP 04060988	B4	19920929		
FI 8202243	A	19821224	FI 1982-2243	19820622
FI 75810	B	19880429		
FI 75810	C	19880808		
DK 8202801	A	19821224	DK 1982-2801	19820622
DK 149949	B	19861103		
DK 149949	C	19870615		
NO 8202087	A	19821227	NO 1982-2087	19820622
NO 163691	B	19900326		
NO 163691	C	19900704		
AU 8285084	A1	19830106	AU 1982-85084	19820622
AU 547524	B2	19851024		
ES 513366	A1	19830801	ES 1982-513366	19820622
ZA 8204428	A	19840229	ZA 1982-4428	19820622
HU 30273	O	19840328	HU 1982-2020	19820622
HU 189149	B	19860630		
PRIORITY APPLN. INFO.:			US 1981-276624	19810623
			US 1982-362814	19820329
			EP 1982-200693	19820608

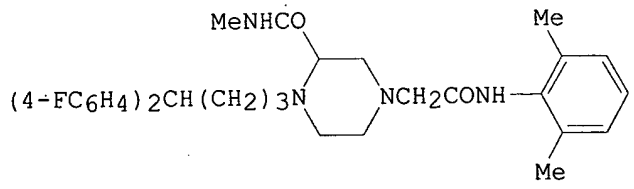
GI



I



II



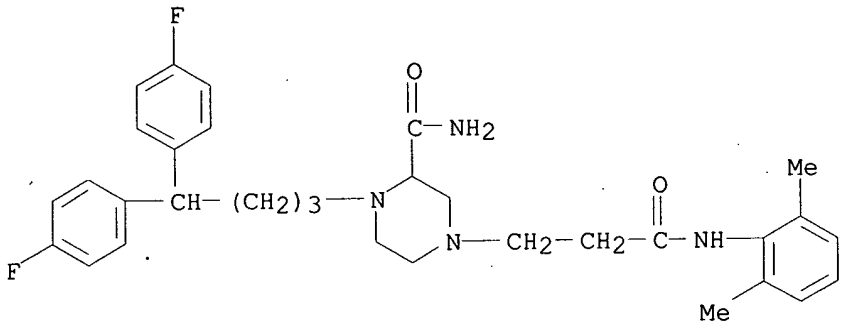
III

AB Piperazines I [R = substituted alkyl, alkenyl; R1 = hydroxyalkyl, alkoxyalkyl, carbamoyl, carboxy, etc.: R2, R3 = H, alkyl; R1 = (un)substituted Ph; Z = CH2, CH2CH2, CHMe] were prepd. Thus,

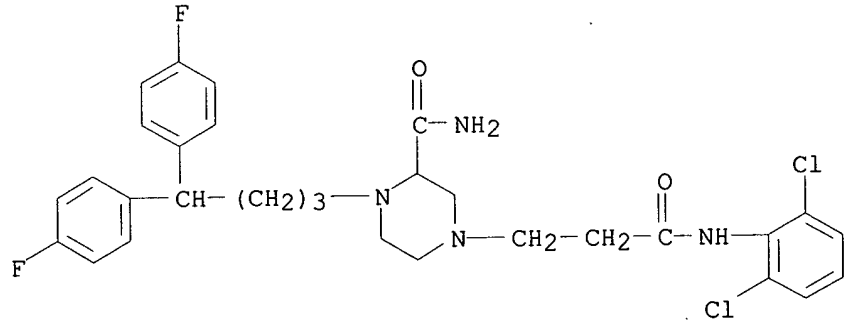
N-methyl-2-piperazinecarboxamide was cyclocondensed with Me2CO to give II,
which was N-alkylated with ClCH2CONHC6H3Me2-2,6 and hydrolyzed to give I (R = R2 = R3 = H, R1 = 3-MeNHCO, R4 = C6H3Me2-2,6, Z = CH2). This was treated with (4-FC6H4)2CH(CH2)3I to give III. At 0.31 mg/kg i.v. in dogs, III.2HCl gave a 100% increase in O partial pressure in coronary sinus venous blood lasting >130 min.

IT **85816-56-4P 85816-63-3P 85816-71-3P 85816-75-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and effect of, on myocardium)

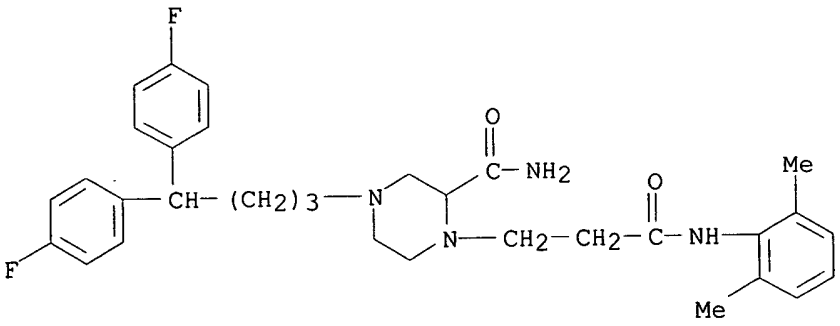
RN 85816-56-4 CA
CN 1-Piperazinepropanamide, 3-(aminocarbonyl)-4-[4,4-bis(4-fluorophenyl)butyl]-N-(2,6-dimethylphenyl)- (9CI) (CA INDEX NAME)



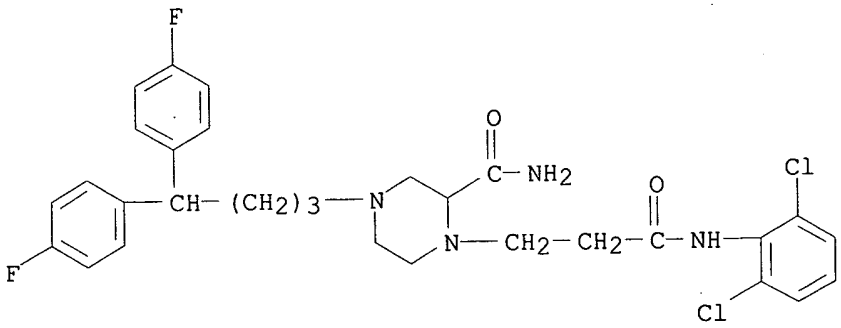
RN 85816-63-3 CA
CN 1-Piperazinepropanamide, 3-(aminocarbonyl)-4-[4,4-bis(4-fluorophenyl)butyl]-N-(2,6-dichlorophenyl)- (9CI) (CA INDEX NAME)



RN 85816-71-3 CA
CN 1-Piperazinepropanamide, 2-(aminocarbonyl)-4-[4,4-bis(4-fluorophenyl)butyl]-N-(2,6-dimethylphenyl)- (9CI) (CA INDEX NAME)



RN 85816-75-7 CA
CN 1-Piperazinepropanamide, 2-(aminocarbonyl)-4-[4,4-bis(4-fluorophenyl)butyl]-N-(2,6-dichlorophenyl)- (9CI) (CA INDEX NAME)



=> file caold

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	41.83	584.13

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.77	-7.42

FILE 'CAOLD' ENTERED AT 14:35:58 ON 14 SEP 2000

FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are

now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

```
=> d his

     (FILE 'HOME' ENTERED AT 13:28:41 ON 14 SEP 2000)

     FILE 'REGISTRY' ENTERED AT 13:29:01 ON 14 SEP 2000
L1             STRUCTURE UPLOADED
L2           0 S L1
L3             STRUCTURE UPLOADED
L4           0 S L1
L5           0 S L4 FULL
L6             STRUCTURE UPLOADED
L7           0 S L6
L8             STRUCTURE UPLOADED
L9           0 S L8
L10            STRUCTURE UPLOADED
L11          4 S L10
L12            STRUCTURE UPLOADED
L13          0 S L12
L14            STRUCTURE UPLOADED
L15          0 S L14
L16         13 S L15 FULL

     FILE 'CA' ENTERED AT 13:40:18 ON 14 SEP 2000
L17          5 S L16
L18          0 S L17 AND MURRAY, A?/AU
L19          0 S L17 AND SAUERBERG, P?/AU
L20          0 S L17 AND JEPPESEN, L?/AU
L21          0 S L17 AND PETTERSON, I?/AU
L22          0 S L17 AND BURY, P?/AU

     FILE 'CAOLD' ENTERED AT 13:42:21 ON 14 SEP 2000
L23          0 S L16

     FILE 'REGISTRY' ENTERED AT 14:22:58 ON 14 SEP 2000
L24            STRUCTURE UPLOADED
L25          0 S L24
L26            STRUCTURE UPLOADED
L27          0 S L26
L28            STRUCTURE UPLOADED
L29          1 S L28
L30        443 S L29 FULL
L31            STRUCTURE UPLOADED
L32          0 S L31
L33            STRUCTURE UPLOADED
L34          0 S L33
L35            STRUCTURE UPLOADED
L36          1 S L35
```

```
L37           1 S L36
L38          36 S L36 FULL
L39          29 S L38 NOT L16

     FILE 'CA' ENTERED AT 14:33:54 ON 14 SEP 2000
L40           9 S L39
L41           0 S L40 AND MURRAY, A?/AU
L42           0 S L40 AND SAUERBERG,P?/AU
L43           0 S L40 AND JEPPESEN,L?/AU
L44           0 S L40 AND PETTERSON, I?/AU
L45           0 S L40 AND BURY, P?/AU

     FILE 'CAOLD' ENTERED AT 14:35:58 ON 14 SEP 2000

=> s l39

L46           3 L39

=> d l46, all, 1-3


L46  ANSWER 1 OF 3  CAOLD  COPYRIGHT 2000 ACS
AN   CA64:12704g  CAOLD
TI   1,4-disubstituted piperazines and diazepins
PA   Janssen Pharmaceutica N. V.
DT   Patent
     PATENT NO.     KIND          DATE
     ------------   -----------   ----
PI   NL 6507312
     BE 664940
     US 3267104                   1966
IT   2511-55-9   2511-56-0   2511-57-1   3046-95-5   3416-26-0   4317-23-1
     5294-59-7   5294-60-0   5294-61-1   5294-62-2   5294-63-3
     5294-64-4   5294-65-5   5294-73-5   5294-74-6   5294-75-7
     5294-76-8   5294-77-9   5294-78-0   5294-79-1   5319-99-3   5352-29-4
     5352-37-4   5352-38-5   5360-58-7   5360-59-8   5360-60-1   5360-61-2
     5360-63-4   5360-64-5   5360-66-7   5360-67-8   5360-69-0   5360-75-8
     5360-79-2   5521-95-9   5521-97-1   5521-98-2   5521-99-3   5522-00-9
     5522-02-1   5522-03-2   5522-04-3   5522-05-4   5522-06-5   5522-07-6
     5522-09-8   5522-10-1   5522-11-2   5522-12-3   5522-13-4
     5522-14-5   5522-15-6   5522-16-7   5522-17-8   5522-18-9   5522-20-3
     5522-21-4   5522-22-5   5522-23-6   5522-24-7   5522-25-8   5522-26-9
     5522-27-0   5522-28-1   5522-29-2   5522-30-5   5522-31-6   5522-32-7
     5522-33-8   5522-34-9   5522-35-0   5522-36-1   5522-37-2   5522-38-3
     5522-39-4   5522-40-7   5522-41-8   5552-17-0   5552-18-1   5552-19-2
     5552-20-5   5552-21-6   5552-22-7   5552-23-8   5552-39-6   5580-42-7
     5580-43-8   5584-38-3   5584-39-4   5590-62-5   5590-63-6
     5594-19-4   5594-20-7   5594-21-8   5594-22-9   5594-23-0   5594-24-1
     5631-35-6   5631-36-7   5631-37-8   5993-25-9   5993-28-2   5999-10-0
     6002-88-6   6016-23-5   6016-24-6   6016-25-7   6043-27-2   6059-08-1
     6190-10-9   6193-39-1   6263-54-3   6585-18-8   6733-24-0   6887-04-3
     6887-06-5   6887-11-2   6887-95-2   6887-96-3   6910-10-7   6916-76-3
     6921-89-7   6998-33-0   7322-22-7  91952-97-5  95939-03-0  97017-69-1
     97433-80-2  97617-15-7 100302-38-3 105729-82-6

L46  ANSWER 2 OF 3  CAOLD  COPYRIGHT 2000 ACS
```

AN CA62:11774f CAOLD
TI carboxylic piperazides with chemotherapeutic activity against Dicrocoelium dendriticum
AU Schorr, Manfred; Loewe, H.; Juergens, E.; Weber, H.; Laemmler, G.
IT 1610-59-9 1610-60-2 1610-61-3 1610-62-4 1610-63-5 1610-64-6
1610-65-7 1610-66-8 1610-67-9 1610-68-0 1610-69-1 1610-70-4
1610-71-5 1610-72-6 1610-73-7 1610-74-8 1610-75-9 1610-76-0
1610-77-1 1610-78-2 1743-53-9 1743-54-0 1815-57-2 1840-90-0
1933-60-4 1947-44-0 1947-45-1 1947-46-2 1949-03-7 1949-04-8
1949-05-9 1949-06-0 1949-07-1 1949-94-6 1949-95-7 1949-96-8
1949-97-9 1949-98-0 1950-00-1 1950-01-2 1950-02-3 1957-36-4
1961-21-3 1996-05-0 1996-11-8 2023-42-9 2090-41-7 2090-42-8
2090-43-9 2090-44-0 2090-45-1 2166-72-5 2166-73-6 2166-74-7
2166-75-8 2166-76-9 2166-77-0 2166-78-1 2166-79-2 2166-80-5
2166-81-6 2166-82-7 2166-83-8 2166-84-9 2166-85-0 2166-86-1
2167-94-4 2167-97-7 2167-98-8 2167-99-9 2168-00-5 2168-01-6
2168-04-9 2168-05-0 2168-06-1 2168-07-2 2168-08-3 2168-09-4
2168-10-7 2168-53-8 2168-54-9 2168-55-0 2168-56-1 2168-57-2
2172-48-7 2172-49-8 2172-50-1 2172-51-2 2172-52-3 2172-54-5
2172-55-6 2172-56-7 2172-57-8 2172-58-9 2172-59-0 2172-60-3
2172-61-4 2172-62-5 2172-63-6 2172-64-7 2172-65-8 2172-66-9
2172-67-0 2172-68-1 2172-69-2 2172-70-5 2177-73-3 2177-74-4
2177-75-5 2177-76-6 2274-52-4 2274-53-5 **2390-20-7**
2390-21-8 2390-22-9 2390-71-8 2390-72-9 2394-52-7 2394-53-8
2394-54-9 2394-55-0 2412-55-7 2459-67-8 2459-68-9 2459-69-0
2459-70-3 **2459-71-4** 2459-72-5 2459-73-6 2459-74-7
2459-75-8 2459-76-9 2459-77-0 2459-78-1 2459-79-2 2459-80-5
2459-81-6 2540-35-4 2586-16-5 2611-73-6 2636-34-2 2636-35-3
2636-36-4 2636-37-5 2672-35-7 2672-36-8 2779-83-1 2779-84-2
2780-77-0 2781-43-3 2881-91-6 2897-99-6 2949-31-7 2949-32-8
2950-05-2 2950-07-4 2950-08-5 3021-52-1 3149-77-7 3712-21-8
3921-49-1 3921-50-4 3921-51-5 3921-52-6 3921-53-7 3921-54-8
3921-55-9 3921-56-0 3921-57-1 3921-58-2 3985-92-0 4018-76-2
4192-84-1 4598-91-8 19618-30-5 19618-36-1 98438-67-6 99950-56-8
102218-34-8 103799-29-7

L46 ANSWER 3 OF 3 CAOLD COPYRIGHT 2000 ACS
AN CA57:8488i CAOLD
TI reactions with diazocarbonyl compds. - (III) reactions of diazoketones with ketenes
AU Ried, Walter; Mengler, H.
IT 1955-37-9 1955-38-0 1955-39-1 1955-40-4 1955-41-5 1955-54-0
2313-02-2 2313-03-3 3578-10-7 3578-11-8 3579-38-2 3579-40-6
3579-41-7 4437-14-3 65155-71-7 93656-85-0 95026-75-8 95436-16-1
96810-60-5 97015-75-3 98274-93-2 100024-67-7

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	1.83	585.96

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION

CA SUBSCRIBER PRICE 0.00 -7.42

FILE 'REGISTRY' ENTERED AT 14:36:20 ON 14 SEP 2000
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

STRUCTURE FILE UPDATES: 13 SEP 2000 HIGHEST RN 289029-92-1
DICTIONARY FILE UPDATES: 13 SEP 2000 HIGHEST RN 289029-92-1

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 11, 2000

Please note that search-term pricing does apply when conducting SmartSELECT searches.

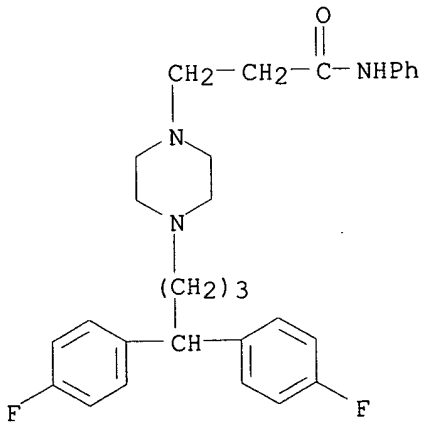
Structure search limits have been increased. See HELP SLIMIT for details.

=> s 5294-64-4/rn

L47 1 5294-64-4/RN

=> d l47

L47 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2000 ACS
RN **5294-64-4** REGISTRY
CN 1-Piperazinepropionanilide, 4-[4,4-bis(p-fluorophenyl)butyl]-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)
MF C29 H33 F2 N3 O . 2 Cl H
LC STN Files: CAOLD
CRN (212828-76-7)



● 2 HCl

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

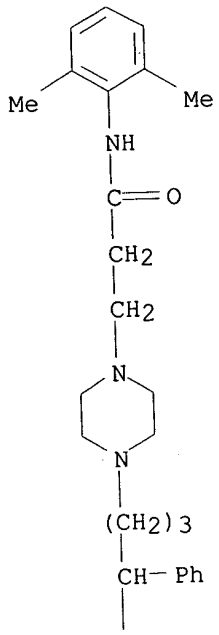
=> s 5522-10-1/rn

L48 1 5522-10-1/RN

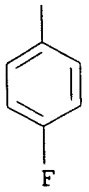
=> d l48

L48 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2000 ACS
RN **5522-10-1** REGISTRY
CN 1-Piperazinepropiono-2',6'-xylidide,
4-[4-(p-fluorophenyl)-4-phenylbutyl]-
, dihydrochloride (7CI, 8CI) (CA INDEX NAME)
MF C31 H38 F N3 O . 2 Cl H
LC STN Files: CAOLD

PAGE 1-A



PAGE 2-A



● 2 HCl

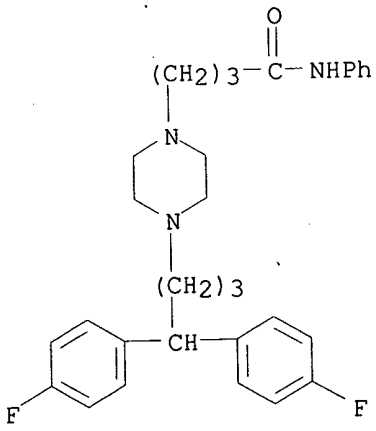
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> s 5590-63-6/rn

L49 1 5590-63-6/RN

=> d 149

L49 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2000 ACS
RN **5590-63-6** REGISTRY
CN 1-Piperazinebutyranilide, 4-[4,4-bis(p-fluorophenyl)butyl]-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)
MF C30 H35 F2 N3 O . 2 Cl H
LC STN Files: CAOLD



● 2 HCl

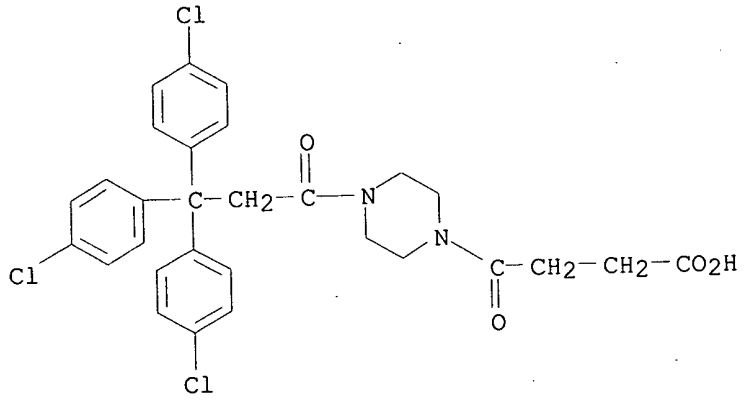
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> s 2390-20-7/rn

L50 1 2390-20-7/RN

=> d l50

L50 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2000 ACS
RN **2390-20-7** REGISTRY
CN 1-Piperazinebutanoic acid, .gamma.-oxo-4-[3,3,3-tris(4-chlorophenyl)-1-oxopropyl]- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1-Piperazinebutyric acid, .gamma.-oxo-4-[3,3,3-tris(p-chlorophenyl)propionyl]- (7CI)
MF C29 H27 Cl3 N2 O4
LC STN Files: BEILSTEIN*, CAOLD
(*File contains numerically searchable property data)



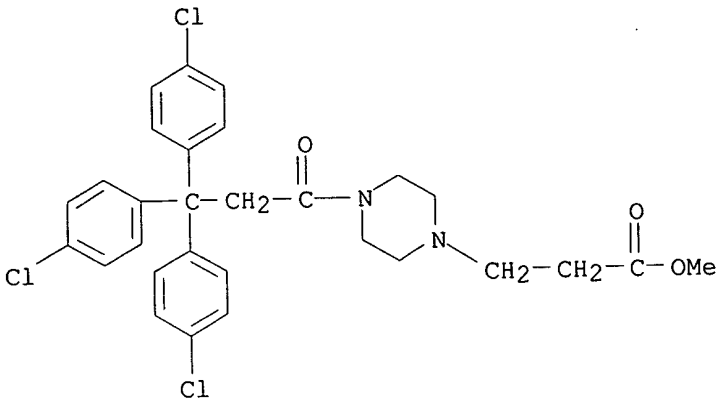
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> s 2459-71-4/rn

L51 1 2459-71-4/RN

=> d l51

L51 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2000 ACS
RN **2459-71-4** REGISTRY
CN 1-Piperazinepropionic acid, 4-[3,3,3-tris(p-chlorophenyl)propionyl]-, methyl ester, hydrochloride (7CI, 8CI) (CA INDEX NAME)
MF C29 H29 Cl3 N2 O3 . Cl H
LC STN Files: CAOLD



● HCl

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> d his

(FILE 'HOME' ENTERED AT 13:28:41 ON 14 SEP 2000)

FILE 'REGISTRY' ENTERED AT 13:29:01 ON 14 SEP 2000

```
L1             STRUCTURE UPLOADED
L2           0 S L1
L3             STRUCTURE UPLOADED
L4           0 S L1
L5           0 S L4 FULL
L6             STRUCTURE UPLOADED
L7           0 S L6
L8             STRUCTURE UPLOADED
L9           0 S L8
L10            STRUCTURE UPLOADED
L11          4 S L10
L12            STRUCTURE UPLOADED
L13          0 S L12
L14            STRUCTURE UPLOADED
L15          0 S L14
L16         13 S L15 FULL
```

FILE 'CA' ENTERED AT 13:40:18 ON 14 SEP 2000

```
L17          5 S L16
L18          0 S L17 AND MURRAY, A?/AU
L19          0 S L17 AND SAUERBERG, P?/AU
L20          0 S L17 AND JEPPESEN, L?/AU
L21          0 S L17 AND PETTERSON, I?/AU
L22          0 S L17 AND BURY, P?/AU
```

FILE 'CAOLD' ENTERED AT 13:42:21 ON 14 SEP 2000

```
L23           0 S L16

     FILE 'REGISTRY' ENTERED AT 14:22:58 ON 14 SEP 2000
L24             STRUCTURE UPLOADED
L25           0 S L24
L26             STRUCTURE UPLOADED
L27           0 S L26
L28             STRUCTURE UPLOADED
L29           1 S L28
L30         443 S L29 FULL
L31             STRUCTURE UPLOADED
L32           0 S L31
L33             STRUCTURE UPLOADED
L34           0 S L33
L35             STRUCTURE UPLOADED
L36           1 S L35
L37           1 S L36
L38          36 S L36 FULL
L39          29 S L38 NOT L16

     FILE 'CA' ENTERED AT 14:33:54 ON 14 SEP 2000
L40           9 S L39
L41           0 S L40 AND MURRAY, A?/AU
L42           0 S L40 AND SAUERBERG,P?/AU
L43           0 S L40 AND JEPPESEN,L?/AU
L44           0 S L40 AND PETTERSON, I?/AU
L45           0 S L40 AND BURY, P?/AU

     FILE 'CAOLD' ENTERED AT 14:35:58 ON 14 SEP 2000
L46           3 S L39

     FILE 'REGISTRY' ENTERED AT 14:36:20 ON 14 SEP 2000
L47           1 S    5294-64-4/RN
L48           1 S    5522-10-1/RN
L49           1 S   5590-63-6/RN
L50           1 S    2390-20-7/RN
L51           1 S   2459-71-4/RN
```